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(54) Title: ENHANCING DRUG DELIVERY ACROSS AND INTO EPITHELIAL TISSUES USING OLIGO ARGININE MOIETIES

(57) Abstract: This invention provides compositions and methods for enhancing delivery of drugs and other agents across epithelial tissues, including the skin, gastrointestinal tract, pulmonary epithelium, and the like. The compositions and methods are also useful for delivery across endothelial tissues, including the blood brain barrier. The compositions and methods employ a delivery enhancing transport that has sufficient guanidino or amidino sidechain moieties to enhance delivery of a compound conjugated to the reagent across one or more layers of the tissue, compared to the non-conjugated compound. The delivery enhancing polymers include, for example, poly-arginine molecules that are preferably between about 6 and 25 residues in length.

**A. CLASSIFICATION OF SUBJECT MATTER**  
 IPC 7 A61K47/48

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

 Minimum documentation searched (classification system followed by classification symbols)  
 IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, EMBASE, MEDLINE, CANCERLIT, BIOSIS, DISSERTATION ABS PAJ, WPI Data

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	CA 2 094 658 A (ALLELIX BIOPHARMA) 24 October 1993 (1993-10-24) page 1, line 5 - line 9 page 2 -page 3, line 13 examples 2,3 claims	3,38
X	WO 98 52614 A (ROTHBARD JONATHAN B ;UNIV LELAND STANFORD JUNIOR (US); WENDER PAUL) 26 November 1998 (1998-11-26) page 3, line 29 -page 4, line 6 page 6, line 8 - line 27 examples 4,7,9,11A,12 figures 2B,2C,2E2F,5A-5C sequence 19	3,38

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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>ZIPING WEI ET AL: "SYNTHESIS OF OLIGOARGININE-OLIGONUCLEOTIDE CONJUGATES AND OLIGOARGININE-BRIDGED OLIGONUCLEOTIDE PAIRS"</p> <p>BIOCONJUGATE CHEMISTRY, US, AMERICAN CHEMICAL SOCIETY, WASHINGTON, vol. 5, no. 5, 1 September 1994 (1994-09-01), pages 468-474, XP000465958</p> <p>ISSN: 1043-1802</p> <p>abstract</p> <p>page 469; table 1</p> <p>page 470; figure 1</p> <p>---</p>	3
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